

## DialogWeb

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1. 2/19/1 DIALOG(R)File 352:Derwent WPI (c) 2003 Thomson Derwent. All rts. reserv.

009409338 \*\*Image available\*\*

WPI Acc No: 1993-102849/199313

XRAM Acc No: C93-045356

New N-alkyl-3-phenyl- 3-phenoxy-propylamine derivs. - are  
norepinephrine uptake inhibitors, for treating depression, panic  
disorder, narcolepsy, addiction, urinary incontinence or bulimia

Patent Assignee: LILLY &amp; CO ELI (ELIL )

Inventor: GEHLERT D R; ROBERTSON D W; WONG D T

Number of Countries: 032 Number of Patents: 032

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
EP 534756	A2	19930331	EP 92308708	A	19920924	199313 B
EP 537915	A1	19930421	EP 92308709	A	19920924	199316
AU 9225370	A	19930401	AU 9225370	A	19920925	199320
BR 9203743	A	19930420	BR 923743	A	19920925	199320
NO 9203710	A	19930329	NO 923710	A	19920924	199321
FI 9204305	A	19930328	FI 924305	A	19920925	199322
CA 2079161	A	19930328	CA 2079161	A	19920925	199324
CA 2079162	A	19930328	CA 2079162	A	19920925	199324
CZ 9202908	A3	19930414	CS 922908	A	19920922	199332
JP 5201961	A	19930810	JP 92256327	A	19920925	199336
JP 5238996	A	19930917	JP 92256333	A	19920925	199342
EP 534756	A3	19930616	EP 92308708	A	19920924	199405
US 5281624	A	19940125	US 91766993	A	19910927	199405
CN 1071416	A	19930428	CN 92111081	A	19920926	199408
ZA 9207240	A	19940525	ZA 927240	A	19920922	199423
HU 65375	T	19940530	HU 923051	A	19920924	199425
NZ 244460	A	19941026	NZ 244460	A	19920923	199442
AU 655075	B	19941201	AU 9225370	A	19920925	199504
EP 537915	B1	19950712	EP 92308709	A	19920924	199532
TW 249225	A	19950611	TW 92108988	A	19921110	199533
DE 69203424	E	19950817	DE 603424	A	19920924	199538
			EP 92308709	A	19920924	
NO 178109	B	19951016	NO 923710	A	19920924	199546
RU 2057120	C1	19960327	SU 5052706	A	19920925	199651
CZ 281817	B6	19970212	CS 922908	A	19920922	199713
IL 103277	A	19971120	IL 103277	A	19920924	199809
BR 1100384	A3	19980414	BR 971100384	A	19970430	199821
PH 29571	A	19960401	PH 44975	A	19920923	199907
HU 215519	B	19990128	HU 923051	A	19920924	199912
SK 280480	B6	20000214	CS 922908	A	19920922	200020
SK 9202908	A3	20000214	CS 922908	A	19920922	200020
KR 221180	B1	19990915	KR 9217511	A	19920925	200107
JP 3256291	B2	20020212	JP 92256327	A	19920925	200213

Priority Applications (No Type Date): US 91766993 A 19910927

Cited Patents: No-SR. Pub; US 4018895; US 4313896; US 4314081; EP 336753; US 4225608

Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
EP 534756	A2	E	7	C07C-217/48	
Designated States (Regional): AT BE CH DE DK FR GB IE IT LI LU NL PT SE					
EP 537915	A1	E	8	C07C-323/20	
Designated States (Regional): AT BE CH DE DK FR GB IE IT LI LU NL PT SE					
AU 9225370	A			C07C-323/20	
BR 9203743	A			C07C-327/16	
NO 9203710	A			C07C-323/20	
FI 9204305	A			C07C-323/20	
CA 2079161	A			C07C-323/63	
CA 2079162	A			C07C-217/62	
CZ 9202908	A3			C07C-211/03	
JP 5201961	A		6	C07C-323/20	
JP 5238996	A		6	C07C-217/48	
EP 534756	A3			C07C-217/48	
US 5281624	A		7	A61K-031/135	
CN 1071416	A			C07C-323/20	
ZA 9207240	A		18	C07C-000/00	
HU 65375	T			C07C-217/48	
NZ 244460	A			C07C-217/14	
AU 655075	B			C07C-323/20	Previous Publ. patent AU 9225370
EP 537915	B1	E	10	C07C-323/20	
Designated States (Regional): AT BE CH DE DK FR GB IE IT LI LU NL PT SE					
TW 249225	A			C07C-323/20	
DE 69203424	E			C07C-323/20	Based on patent EP 537915
NO 178109	B			C07C-323/20	Previous Publ. patent NO 9203710
RU 2057120	C1		7	C07C-319/20	
CZ 281817	B6			C07C-323/20	Previous Publ. patent CZ 9202908
IL 103277	A			C07C-323/20	
BR 1100384	A3			C07C-323/20	
PH 29571	A			C07C-323/20	
HU 215519	B			C07C-323/20	Previous Publ. patent HU 65375
SK 280480	B6			C07C-323/20	Previous Publ. patent SK 9202908
SK 9202908	A3			C07C-323/20	
KR 221180	B1			C07C-323/29	
JP 3256291	B2		6	C07C-323/20	Previous Publ. patent JP 5201961

Abstract (Basic): EP 534756 A

N-alkyl-3-phenyl -3-(2-halophenoxy)- propylamines of formula (I), and their salts, are new. X = Cl or Br; Y = Me or Et.

USE/ADVANTAGE - (I) are selective and potent inhibitors of norepinephrine uptake and are useful for treating disorders linked to decreased neurotransmission of norepinephrine such as substances abuse, narcolepsy, depression, panic disorder, bulimia and related psychiatric disorders. They are also useful in treating urinary incontinence.

Admin. is oral, rectal, transdermal, subcutaneous, intravenous, intramuscular or intranasal, in doses of 0.01-20 (esp. 0.1-5) mg/kg/day.

In an example, a soln. of chloropropiophenone (10.8g) in MeOH (100 ml) was cooled (ice) and treated with NaNH<sub>4</sub> (2.03g) and stirred at room temp. for 2 hrs. Work up gave 3-chloro-1-phenyl-1-propanol. This cpd. (5.07 g), 2-chlorophenol (3.31g) and PPL3 (7.85g) were stirred in THF (70 ml). Diethylazodicarboxylate (4.7 ml) was added and the mixt. held at 25 deg. C. and stirred overnight. Work-up gave 1-(3-chloro-1-phenylpropoxy)-2-chlorobenzene. This cpd. was aminated using methylamine (40% in water) in EtOH at 130 deg. C for 3 hrs. Work-up and salification gave N-methyl-3-phenyl-3-(2-chlorophenoxy)propylamine hydrochloride, m.p. 109-111 deg. C. In tests on male Sprague-Dawley rats, the free base of this cpd. had an IC<sub>50</sub> for norepinephrine uptake of 4.5 nM.

Dwg. 0/0

Abstract (Equivalent): EP 537915 B

N-alkyl-3-phenyl -3-(2-halophenoxy)- propylamines of formula (I), and their salts, are new. In (I), X is Cl or Br; and Y is Me or Et.

USE/ADVANTAGE - (I) are selective and potent inhibitors of

norepinephrine uptake and are useful for treating disorders linked to decreased neurotransmission of norepinephrine such as substance abuse, narcolepsy, depression, panic disorder, bulimia and related psychiatric disorders. They are also useful in treating urinary incontinence. Admin. is oral, rectal, transdermal, subcutaneous, intravenous, intramuscular or intranasal, in doses of 0.001-20 (esp. 0.1-5) mg/kg/day.

(Dwg. 0/0)

EP-534756 N-alkyl-3-phenyl-3-(2-halophenoxy)-propylamines of formula (I), and their salts, are new. X = Cl or Br; Y = Me or Et.

USE/ADVANTAGE - (I) are selective and potent inhibitors of norepinephrine uptake and are useful for treating disorders linked to decreased neurotransmission of norepinephrine such as substance abuse, narcolepsy, depression, panic disorder, bulimia and related psychiatric disorders. They are also useful in treating urinary incontinence. Admin. is oral, rectal, transdermal, subcutaneous, intravenous, intramuscular or intranasal, in doses of 0.01-20 (esp. 0.1-5) mg/kg/day.

In an example, a soln. of chloropropiophenone (10.8g) in MeOH (100 ml) was coded (ice) and treated with NaNH<sub>4</sub> (2.03g) and stirred at room temp. for 2 hrs. Work up gave 3-chloro-1-phenyl-1-propanol. This cpd. (5.07 g), 2-chlorophenol (3.31g) and PPL3 (7.85g) were stirred in THF (70 ml). Diethylazodicarboxylate (4.7 ml) was added and the mixt. held at 25 deg. C. and stirred overnight. Work-up gave 1-(3-chloro-1-phenylpropoxy)-2-chlorobenzene. This cpd. was aminated using methylamine (40% in water) in EtOH at 130 deg. C for 3 hrs. Work-up and salification gave N-methyl-3-phenyl-3-(2-chlorophenoxy)propylamine hydrochloride, m.pt. 109-111 dg. C. In tests on male Sprague-Dawley rats, the free base of this cpd. had an IC<sub>50</sub> for norepinephrine uptake of 4.5 nM.

EP-537915 A compound of formula (I) wherein X is C1-C4 alkylthio and Y is C1-C2 alkyl or a pharmaceutically acceptable acid addition salt thereof.

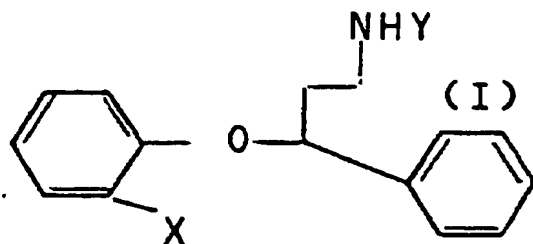
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Abstract (Equivalent): US 5281624 A

N-alkyl-3-phenyl-3-(2-substd. phenoxy) propylamines of formula (I) and acid addn. salts are new.

X is 1-4C alkylthio; Y is 1-2C alkyl. Also new is inhibition of norepinephrine uptake; treating depression; treating panic disorder; treating narcolepsy; treating substance addiction; treating urinary incontinence; and treating bulimia.

Specific (I) is N-methyl-3-phenyl-3-(2-iodophenoxy) propylamines. Dwg. 0/0



Title Terms: NEW; N; ALKYL; PHENYL; PHENOXY; PROPYLAMINE; DERIVATIVE; NOREPINEPHRINE; UPTAKE; INHIBIT; TREAT; DEPRESS; PANIC; DISORDER; NARCOLEPSY; ADDICT; URINE; INCONTINENCE

Derwent Class: B05

International Patent Class (Main): A61K-031/135; C07C-000/00; C07C-211/03; C07C-217/14; C07C-217/48; C07C-217/62; C07C-319/20; C07C-323/20;

C07C-323/29; C07C-323/63; C07C-327/16  
International Patent Class (Additional): A61K-031/085; A61K-031/10;  
A61K-031/13; A61P-013/00; A61P-025/18; A61P-025/20; A61P-025/22;  
A61P-025/24; C07C-041/09; C07C-043/205; C07C-209/68; C07C-217/44;  
C07C-323/18; C07C-323/32; C07C-323/37; C07C-323/58

File Segment: CPI

Manual Codes (CPI/A-N): B10-B03B; B12-C06; B12-C10; B12-E01; B12-G01A

Chemical Fragment Codes (M2):

\*01\* G010 G011 G100 H1 H102 H181 H5 H541 H6 H602 H603 H641 H8 M210 M211  
M212 M273 M281 M313 M321 M332 M343 M373 M391 M414 M510 M520 M532  
M540 M630 M640 M650 M710 M720 M903 M904 N203 N209 N225 N262 N333  
N342 N512 N513 P448 P451 P452 P617 P646 P722 P731 9313-08901-N  
9313-08901-P

Generic Compound Numbers: 9313-08901-N; 9313-08901-P

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## DialogWeb

Dynamic Search: Equivalent Patents/Families (File 352)

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Records 1 of 1 In full Format

1. 4/19/1 DIALOG(R)File 352:Derwent WPI (c) 2003 Thomson Derwent. All rts. reserv.

010232090 \*\*Image available\*\*

WPI Acc No: 1995-133347/ 199518

XRAM Acc No: C95-061281

New nitro-phenoxy-propyl-amine derivs. prepn. for  
cyto-protection and as anti-ulcerants - from aromatic amine(s) and  
halogen contg. cpds.

Patent Assignee: RICHTER GEDEON VEGYESZETI GYAR (RICT )

Inventor: CSEHI A; EZER E; HAJOS G; HARSANYI K; HEGEDUS B; KALLAYNE S A;  
MATUZ J; SAGHY K; SZPORNY L

Number of Countries: 001 Number of Patents: 001

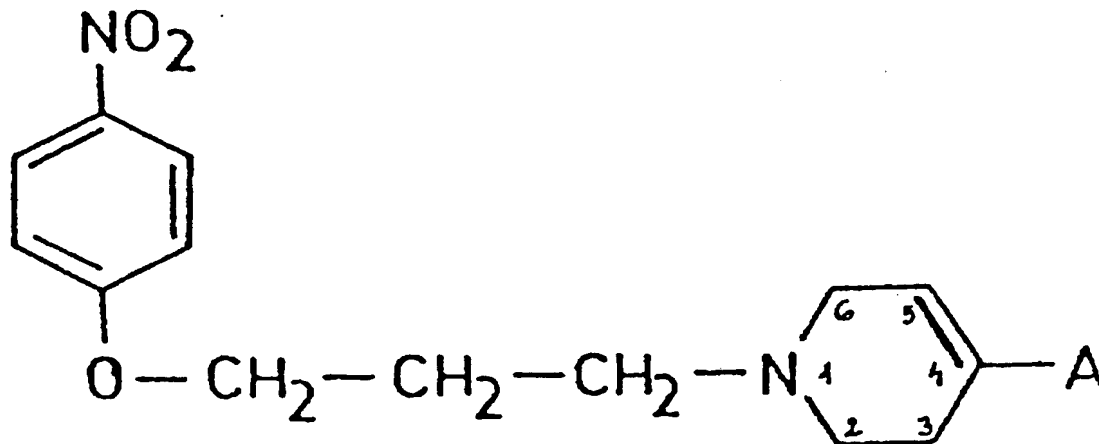
Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
HU 209753	B	19950228	HU 908250	A	19901213	199518 B

Priority Applications (No Type Date): HU 908250 A 19901213

Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
HU 209753	B		12	C07D-211/70	



Title Terms: NEW; NITRO; PHENOXY; PROPYL; AMINE; DERIVATIVE; PREPARATION;  
CYTO; PROTECT; ANTI; AROMATIC; AMINE; HALOGEN; CONTAIN; COMPOUND

Derwent Class: B03; B05

International Patent Class (Main): C07D-211/70

International Patent Class (Additional): A61K-031/435; A61K-031/47;  
C07D-211/72; C07D-215/06

File Segment: CPI

Manual Codes (CPI/A-N): B06-D06; B07-D04C; B07-D04D; B10-A09B; B10-G02;  
B14-E08

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